

The
United
States
of
America

The Commissioner of Patents
and Trademarks

*Has received an application for a patent
for a new and useful invention. The title
and description of the invention are en-
closed. The requirements of law have
been complied with, and it has been de-
termined that a patent on the invention
shall be granted under the law.*

Therefore, this

United States Patent

*Grants to the person or persons having
title to this patent the right to exclude
others from making, using or selling the
invention throughout the United States
of America for the term of seventeen
years from the date of this patent, sub-
ject to the payment of maintenance fees
as provided by law.*

Harry F. Manbeck, Jr.

Commissioner of Patents and Trademarks

Matthew G. Thompson
Attest



US005098895A

United States Patent [19]

Shroot et al.

[11] Patent Number: 5,098,895

[45] Date of Patent: Mar. 24, 1992

[54] **BENZONAPHTHALENE DERIVATIVES, A PROCESS FOR THEIR PREPARATION AND THEIR USE IN THERAPEUTIC AND COSMETIC COMPOSITIONS**

[75] Inventors: Braham Shroot, Antibes; Jacques Eustache, Grasse; Jean-Michel Bernardon, Nice, all of France

[73] Assignee: Centre International de Recherches Dermatologiques (C.I.R.D.), Valbonne, France

[21] Appl. No.: 502,122

[22] Filed: Mar. 30, 1990

Related U.S. Application Data

[62] Division of Ser. No. 120,958, Nov. 16, 1987, Pat. No. 4,940,696, which is a division of Ser. No. 850,145, Apr. 10, 1986, Pat. No. 4,717,720.

Foreign Application Priority Data

Apr. 11, 1985 [LU] Luxembourg 85849

[51] Int. Cl.³ A61K 31/435; B01J 29/04; B01J 29/06

[52] U.S. Cl. 514/62; 514/63; 514/237.5; 514/255; 514/319; 514/844; 514/845; 514/859; 514/863; 514/913; 514/914; 514/533; 514/544; 514/559; 514/569; 514/617; 514/618; 514/619; 514/620; 514/621; 514/622; 514/682; 514/700; 514/712; 514/717; 514/718; 514/719; 514/721; 514/730

[58] Field of Search 514/844, 845, 859, 863, 514/913; 914, 255, 319, 237.5, 423, 63, 62, 617, 618, 619, 620, 621, 622, 682, 700, 712, 717, 718, 719, 721, 730, 732, 569, 533, 544, 559

References Cited**U.S. PATENT DOCUMENTS**

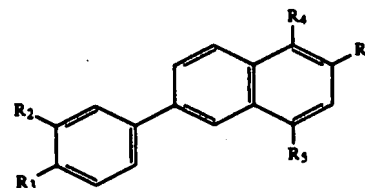
4,940,696 7/1990 Shroot et al. 546/14

Primary Examiner—Johann Richter

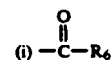
Attorney, Agent, or Firm—Cushman, Darby & Cushman

[57] ABSTRACT

A benzonaphthalene compound has the formula



wherein R₁ represents



or (ii) —CH₂OH; R₆ represents



or OR₇ wherein R₇ represents hydrogen, alkyl having 1–20 carbon atoms, monohydroxyalkyl or polyhydroxyalkyl, r' or r'' represent hydrogen, lower alkyl, mono or polyhydroxyalkyl, aryl or a residue of an amino acid or a sugar, or together form a heterocycle; R₂ represents hydrogen, alkyl having 1–15 carbon atoms, alkoxy having 1–4 carbon atoms or a cycloaliphatic radical; R₃ represents hydrogen, hydroxy, alkyl having 1–4 carbon atoms, alkoxy having 1–10 carbon atoms, a cycloaliphatic radical, a thiocycloaliphatic radical or —O—Si(CH₃)₂—R₈ wherein R₈ represents lower alkyl; and R₄ and R₅ represent hydrogen, lower alkyl, hydroxy or lower acyloxy.

This compound is useful in the topical and systemic treatment of dermatologic diseases and in the treatment of the degeneration of conjunctive tissues. The compound also possesses anti-tumor activity.

4 Claims, No Drawings